IN THE SPECIFICATION:

Please amend paragraph starting on page 41, line 36 as follows:

Ile 689 from the peptide interacts with three receptor residues (Asp 538, Glu 542 and Leu 539). The γ-carboxylate of Glu 542 forms hydrogen bonds to the amides of residues 689 and 690 of the peptide. A water-mediated hydrogen bond network is formed between the imidazole ring of His 377, the γ-carboxylate of Glu 380, and the amide of Tyr 537. Three residues (Glu 380, Leu 536 and Tyr 537) interact with each other through van der Waals contacts and/or hydrogen bonds. Intriguingly, mutations in each these three residues dramatically increase the transcription activity of unliganded ERα LBD (Eng, *et al.*, *Mol. Cell. Biol.* (1997) 17:4644-4653); Lazennec, et al., *Mol Endocrinol.* (1997) 11:1375-86; White, *et al.*, *EMBO J.* (1997) 16:1427-35). Atomic coordinates of DES-LBD-peptide complex are attached as Appendix 2. The structure in Appendix 2 comprises: human ERα residues 305 – 549 of chain A (SEQ ID NO: 56), human ERα residues 305 – 549 of chain B (SEQ ID NO: 57); peptide chain C (SEQ ID NO: 58); and peptide chain D (SEQ ID NO: 60).

Please amend paragraph starting on page 43, line 6 as follows:

The OHT complex data set was then collected. Starting with one of the monomers of the preliminary low-resolution DES-hERα LBD-NR-box 2 peptide model as the search probe, molecular replacement in AMoRe was used to search for the location of LBD in this crystal form in both $P6_122$ and $P6_522$. A translation search in $P6_522$ yielded the correct solution (R=53.8%, CC=38.2%). In order to reduce model bias, DMMULTI (CCP4, 1994) was then used to project averaged density from the DES complex cell into the OHT complex cell. Using MOLOC, a model of the hERα LBD was built into the resulting density. The model was refined initially in REFMAC and later with the simulated annealing, positional and R-factor refinement protocols in X-PLOR (Brunger, X-PLOR. Version 3.843, New Haven, Connecticut: Yale University, 1996) using a maximum-likelihood target (Adams, *et al.*, *Proc. Natl. Acad. Sci. USA* (1997) 94:5018-23). Anisotropic scaling and a bulk solvent correction were used and all B-factors were refined isotropically. Except for the R_{free} set (a random sampling consisting of 8% of the data set), all data between 41 and 1.9 Å (with no σ cutoff) were included. The final model consisted of residues 306-551, the ligand and 78 waters. According to PROCHECK (CCP4, 1994), 91.6% of all residues in the model were in the core regions of the Ramachandran plot and none were in the disallowed regions. Thus, the structure of the OHT-hERα LBD complex has been refined against data of comparable

resolution (1.90 Å) to a crystallographic B-factor of 23.0% (R_{free} =26.2%). Atomic coordinates of OHT-hERα LBD complex are attached as Appendix 3. The structure in Appendix 3 consists of: atomic coordinates for a portion of human ERα, (SEQ ID NO: [[60]] 59) complexed with OHT.